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SYNTHESIS AND BIOLOGICAL EVALUATION OF SUBSTITUTED DIPHENYL IMIDAZOLE DERIVATIVES

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ABSTRACT

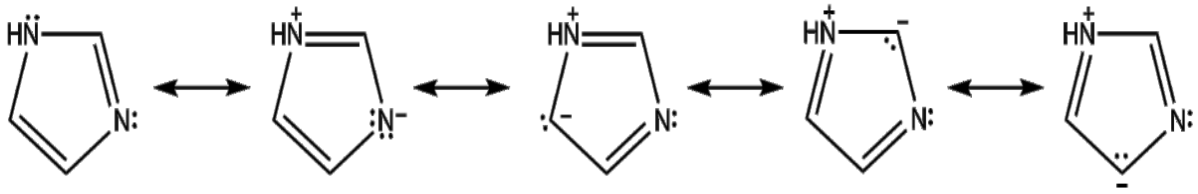
Polysubstituted imidazole is a heterocyclic moiety. Imidazole is a five membered ring containing two nitrogens having wide applications in medicinal chemistry. As a part of project a series of novel polysubstituted imidazole derivatives were synthesized by an efficient and environmentally adapted synthesis of multicomponent condensation (i.e. claisen ester condensation) as pharmaceutical important molecules at high yield and with high purity. Software's like molsoft, molinspiration, osiris were used to predict toxicity and pharmacokinetic properties. All the compounds were deduced from IR, ^{1}HNMR & MASS spectroscopic data. The derivatives were screened for anti-bacterial, anti-fungal (*Bacillus subtilis*, *Escherichia coli*, *Pencillium Chrysogenum*) activity by agar-cup plate method and anti-oxidant activity by DPPH method. Compounds 1b, 1g showed potent activity compared to ofloxacin as the reference antibacterial drug, 1g showed equipotent activity compared to fluconazole as the reference antifungal drug, compound 1b, 1d, 1g, 1j showed potent antioxidant activity when compared to ascorbic acid.

KEYWORDS: Imidazoles, chalcones, molecular properties prediction, antibacterial activity, antifungal activity and antioxidant activity.

1. INTRODUCTION

Imidazole is a planar 5-membered ring. It exists in two equivalent tautomeric forms, because the proton can be located on either of the two nitrogen atoms. Imidazole is a highly polar compound, as evidenced by calculated dipole of 3.61D. It is highly soluble in water. The

compound is classified as aromatic due to the presence of sextet of π-electrons,consisting of a pair of electrons from the protonated nitrogen atom and one from each of the remaining four atoms of the ring. Some resonance structures of imidazole are.



Molecules with imidazole nucleus are known to posses various biological activities like antibacterial, antifungal, anti-inflammatory, anticancer, antihistamine and anticonvulsant activities. So we thought that it would be interesting to synthesise a series of novel polysubstituted imidazole derivatives by claisen ester condensation.

Molecular Properties

A molecular property, drug likeness is a complex balance of various structural features which determines whether particular molecule is similar to the known drugs. It generally means molecules which contain functional groups and have molecular properties which are associated with some basic molecular descriptors such as logP (partition coefficient), molecular weight or Hydrogen bond acceptor and donor counts in a molecule.

Lipinski used molecular properties in formulating his "rule of five". The rule states that most molecules with good membrane permeability have logP≤5, molecular weight≤500; number of hydrogen bond acceptors≤10 and hydrogen bond donors≤5.Total polar surface area (TPSA), molecular volume and number of rotatable bonds explain the pharmacodynamic properties. All these properties are calculated by Molsoft, Molinspiration and Osiris software in order to filter the drugs for synthesis and biological screening and to reduce enormous wastage of expensive chemicals and precious time.

Molinspiration

Molinspiration Cheminformatics provides calculation of molecular properties relevant to drug design and QSAR, including logP, molecular polar surface area (PSA), nrotb and HBA/HBD counts and the rule of five descriptors. However, this website offers tools to calculate other properties, such as volume and total number of atoms in the molecule.

Molinspiration molecular properties and bioactivity calculations of the synthesized compounds (1a-j) are predicted in the table 1 respectively.

Molsoft

Molsoft online tool calculates the chemical properties like Molecular Formula, Molecular Weight, Number of Hydrogen bond acceptors (HBA), Number of Hydrogen bond donors (HBD), molLogP (octanol/water partition coefficient), mollogS (water solubility), Polar surface area (molPSA), volume, Number of stereo centers, Drug likeness model score.

In Molsoft the strategy which leads to success focuses on particular drug classes and development of specific activity scores for each of these classes. The method compares structures of representative ligands active on the particular target with structures of inactive molecules and to identify substructure features (which in turn determine physicochemical properties) typical for active molecules. Molsoft molecular properties calculations of the synthesized compounds (1a-j) are predicted in the table-2.

Osiris

The Osiris Property Explorer is an integral part of Actelion's inhouse substance registration system. It lets you draw chemical structures and calculates on-the-fly various drug-relevant properties whenever a structure is valid. Properties with high risks of undesired effects like mutagenicity or a poor intestinal absorption are shown in red colour whereas green colour indicates drug-conform behavior.

Structure based design is now fairly routine but many potential drugs fail to reach the clinic because of ADME toxicity liabilities. One very important class of enzymes, responsible for many ADME problems, is the cytochrome P_{450}. Inhibition of these or production of unwanted metabolites can result in many adverse drug reactions.

Most of the synthesized compounds (1a-j) were found to be on conformity with Lipinski's "Rule of Five" and other parameters, for their onward screening for antimicrobial activity as oral active leads/ drug. The results are predicted in the table-3.

Table -1: Molinspiration calculations of compounds 1a-j

Cmpd	Clogp	TPSA	N atoms	MW	nON	nOHNH	Nviolation	nrotb	volume
1a	5.17	28.68	22.0	302.40	2	1	1	3	269.81
1b	5.10	37.91	26.0	338.41	3	1	1	3	310.84
1c	4.24	33.61	23.0	299.37	3	1	0	3	281.02
1d	4.53	41.82	22.0	286.33	3	1	0	3	260.66
1e	5.48	44.47	26.0	335.41	3	2	1	3	308.07
1f	3.25	57.36	22.0	286.33	4	2	0	3	259.92
1g	5.49	31.92	26.0	339.44	3	1	1	4	325.00
1h	5.01	56.38	29.0	385.45	5	1	1	6	355.73
1i	5.12	48.91	24.0	312.37	3	2	1	3	287.11
1j	4.90	48.91	24.0	312.37	3	2	0	3	287.11
Oflaxacin	-0.625	75.01	25.0	47.34	7	1	0	2	294.55
flucanazole	-3.65	83.51	22.0	307.28	7	1	0	5	251.80

MW - Molecular weight;

TPSA - Total Polar Surface Area; Non - no. of Hydrogen acceptors;

nOHNH - no. of Hydrogen donors ; nrotb - no. of Rotatable bonds.

Table -2: MolSoft calculations of compounds 1a-j

Cmpd	MF	NO. HBA	NO. HBD	Log P	Log s	MPSA	MV	NO. SC	DLMS
1a	$C_{19}H_{14}N_2S$	2	1	5.36	-5.76	21.57	279.49	0	-0.90
1b	$C_{23}H_{18}N_2O$	2	1	5.14	-7.34	29.75	326.50	0	-0.56
1c	$C_{21}H_{18}N_2$	1	1	4.38	-5.70	22.97	294.21	0	-0.50
1d	$C_{19}H_{13}N_2O$	2	1	4.72	-5.53	29.93	268.31	0	-1.03
1e	$C_{23}H_{17}N_3$	1	2	5.83	-7.34	29.90	323.53	0	-1.15
1f	$C_{18}H_{14}N_4$	2	2	3.61	-5.71	41.85	269.03	0	-1.11
1g	$C_{23}H_{21}N_3$	1	1	5.61	-6.71	23.36	334.17	0	-0.90
1h	$C_{24}H_{22}N_2O_3$	4	1	5.53	-6.31	43.53	376.73	0	-0.29
1i	$C_{21}H_{16}N_2O$	2	2	5.11	-6.21	37.10	295.71	0	-0.33
1j	$C_{21}H_{16}N_2O$	2	2	5.23	-6.24	38.17	295.17	0	-0.43
Oflaxacin	$C_{13}H_{12}F_2N_6O$	5	1	0.93	-2.38	59.25	350.29	0	0.78
Flucanazole	$C_{18}H_{20}FN_3O_4$	4	2	0.26	-1.67	66.19	267.47	1	-0.52

MF - Molecular formula; SC - no. of stereocentres;

HBA - Hydrogen bond acceptors; DL - Drug Likeness.

HBD - Hydrogen bond donors; MlogP - MolLogP;

MlogS - MolLogS; MV - Molecular volume

MPSA - Molecular Polar Surface Area

Table -3: Osiris calculations of compounds 1a-j

comp	Toxicity Assessment				Drug Score
	mutagenic	tumorigenic	irritant	reproductive effective	
1a)					0.25
1b)					0.35
1c)					0.29
1d)					0.34
1e)					0.17
1f)					0.23
1g)					0.4
1h)					0.31
1i)					0.23
1j)					0.33
Oflaxacin					0.91
Flucanazole					0.46

2. MATERIALS AND METHODS

2.1 Chemistry

Melting points were recorded on METLER FP-51 instrument and are uncorrected Infra red spectra were recorded on BRUKER infrared spectrophotometer using the KBr plate technique and values are given in cm^{-1}. ^1H NMR spectra were determined on a VARIAN GEMINI-400 spectrometer. All NMR spectra were measured in $CDCl_3$ and DMSO solution using tetra methyl silane (TMS) as an internal standard and ^1H chemical shifts are reported as ppm. The standard abbreviations s,d,dd,t,q,m,bs refer to singlet, doublet, triplet, quartet, multiplet, broad singlet respectively. Mass spectra were recorded by using electro spray ionization technique (ESI) on the VG170708H mass spectrometer. Thin layer chromatography (TLC) is performed on 5-10 cm aluminium plates coated with silica gel 60F-254 (Merck) in an appropriate solvent. Visualisation of the spots on the plate is achieved either by exposure to iodine vapor or UV light. Silica gel 60-120 mesh (MERCK) was used as an adsorbant for column chromatography.

2.2 synthesis of 1, 2-diphenylethane-1,2-dione (2)

5gms of powdered benzoin (1) and 25ml of concentrated nitric acid taken in to a 150ml round bottomed flask. Total reaction mixture is refluxed for 1 hour and the reaction is monitored by TLC. Crystalline benzoin is replaced with oily benzil i.e 1, 2-diphenylethane-1,2-dione. On completion of reaction pour the mixture in to the beaker containing 100ml of cold water.

Crystalline yellow mass is separated out by filtration, washed with cold water and air dried, and recrystallised to get 1,2-diphenylethane-1,2-dione (2).

2.3 General procedure for the synthesis of substituted imidazole derivatives (1a-j)

A mixture of benzil(0.025 mol)**(2),** ammonium acetate(0.129mol) and aromatic and heterocyclic aldehyde (0.018mol) and glacial acetic acid(50ml) are taken in to the round bottomed flask. Total reaction mixture is refluxed for 5-6hours and reaction is monitored by TLC. On completion of reaction the mixture was cooled to room temperature followed by addition of reaction mixture to the beaker containing 150 ml water. The solution is neutralized with ammonium hydroxide, the precipitate obtained is filtered, dried and recystallised from ethanol. and purified by passing through silica gel using a mixture of n-Hexane and ethyl acetate as eluent to get the title compound **(3).**

2.3.1:- 4, 5-diphenyl-2-(thiophen-2-yl)-1H-imidazole (1a)

Yield:89%, m.p: 262^0c, IR(KBr)cm^{-1}: 3048(NH-str); 2970(C-H str); 1594(C=C);1493(C=N str);765(C-S),^{1}H NMR[$CDCl_3$]: δ 7.15(dd, 1H,Th-H);7.25(d, 2H, Ar H);7.3(m, 4H,Ar H);7.5(m, 4H, Ar H);7.6(dd,1H,Th H); 7.7(dd, 1H,Th-H)); 12.8(bs, 1H, NH); EI-MS : 302.

2.3.2:- 2-(1,3-dihydro-2-benzofuran-zyl)-4,5diphenyl-1H-imidazole(1b)

Yield: 87%, m.p: 232^0c, IR(KBr)cm^{-1}: 3445(NH-str), 2920(C-H str), 1607(C=C), 1464(C=N str), 1088(C-O), ^{1}H NMR[$CDCl_3$] : δ 5.0(s, 4H, pi-CH_2); 7.3(m, 2H, Ar H); 7.4(m, 4H, Ar H); 7.5(d, 2H, Pi-H);7.6(d, 1H, Pi-H);7.7(s, 1H, Pi-H); 7.8(m, 4H, Ar H);9.4(bs, 1H,NH), EI-Ms : 341(M+1).

2.3.3:- 2-(1-methyl-1H-pyrrole-2yl)-4,5diphenyl-1H-imidazole(1c)

Yield: 75%, m.p: 298^0c, IR(KBr)cm^{-1}:3045(NH str), 2848(N-CH_3)2917(C-H str), 1588(c=c), 1465(C=N), ^{1}H NMR[$CDCl_3$] : δ 4.1(s, 3H, Py-CH_3); 6.2(dd, 1H, Py H); 6.4(dd, 1H, Py H); 6.7(dd, 1H, Py H); 7.3(m, 2H, Ar H); 7.4(m, 4H, Ar H); 7.6(m, 4H, Ar H) ;9.0 (bs, 1H, NH),EI-MS : 300$(M+1)^+$.

2.3.4:- 2-(furan-3-yl)-4, 5-diphenyl-1H-imidazole(1d)

Yield: 79%, m.p: 202^0c, IR(KBr) cm^{-1} : 3056(NH str), 2723(C-H str), 1602(c=c), 1485(C=N str), 1170(C-O str), ^{1}H NMR[$CDCl_3$] : δ 6.7(d, 1H, Fu H); 7.3(m, 2H, Ar H); 7.4(m, 4H, Ar H) ; 7.6(d, 1H, Fu H);7.7(m, 4H, Ar H); 7.8(s, 1H, Fu H); 9.0(bs, 1H, NH), EI-MS : 287$(M+1)^+$.

2.3.5:- 3-(4,5-diphenyl-1H-imidazol-2-yl)-1H-indole(1e)

Yield: 82%, m.p: 202^{0}c, IR(KBr) cm^{-1} : 2980(NH str), 2880(C-H str), 1495(c=c), 1417(C=N str),^{1}H NMR[$CDCl_3$] : δ 6.9(m, 1H, In H); 7.1(m, 1H, In H); 7.3(m,2H, Ar H); 7.4(m, 4H, Ar H);7.5(d, 1H, InH);7.7(d,1H, In H);7.8(m, 4H, Ar H);8.0(s, 1H, In H); 8.8(bs, 1H, In NH); 9.0(bs, 1H, NH), EI-MS : 335.

2.3.6:- 4,5-diphenyl-1H,1H-2,4-biimidazole(1f)

Yield: 87%, m.p: 226^{0}c, IR(KBr) cm^{-1} : 3055(NH str), 2917(C-H str), 1601(c=c), 1487(C=N str),^{1}H NMR[$CDCl_3$] : δ 7.3(m, 2H, Ar H); 7.4(bs, 1H, Im NH); 7.5(m, 4H, Ar H); 7.6(s, 1H, Im H), 7.9(m, 4H, Ar H); 8.4(s, 1H, Im H); 9.0(bs, 1H, NH), EI-MS : 287$(M+1)^+$.

2.3.7:- 4-(4,5-diphenyl-1H-imidazol-2yl)-N,N-dimethyl aniline(1g)

Yield: 78%, m.p: 270^{0}c, IR(KBr) cm^{-1} : 3208(NH str), 2780(N- CH_3)3058(C-H str), 1601(c=c), 1502(C=N str), ^{1}H NMR[$CDCl_3$] : δ 3(s, 6H,An CH_3); 6.9(d, 2H, An H); 7.3(m, 2H, Ar H); 7.4(m, 4H, Ar H); 7.6(m, 4H, Ar H); 7.7(d, 2H, An H); 10(bs, 1H, NH), EI-MS : 340$(M+1)^+$.

2.3.8:- 4-(4,5-diphenyl-1H-imidazole-2-yl)-3,4,5-trimethoxy phenol(1h) :-

Yield: 77%, m.p: 262^{0}c, IR(KBr) cm^{-1} : 3045(NH str), 2848(C-OCH_3)2917(C-H str), 1588(c=c), 1465(C=N str), ^{1}H NMR[$CDCl_3$] : δ 3.6(d, 6H, C-OCH_3); 4.0(s, 3H, C-OCH_3); 7.0(d, 2H, Ar H); 7.3(m,2H, Ar H); 7.4(m, 4H, Ar H); 7.8(m, 4H, Ar H); 9(bs, 1H, NH), EI-MS : 387$(M+1)^+$.

2.3.9:- 2-(4,5-diphenyl-1H-imidazol-2yl)phenol (1i)

Yield: 85%, m.p: 274^{0}c, IR(KBr) cm^{-1} : 3100(C-OH); 2980(NH str); 2805(C-H str); 1615(c=c), 1495(C=N str), ^{1}H NMR[CDCl] : δ 6.9(d, 2H, Ar H);7.3(m, 2H, Ar H);7.5(m,1H,Ar H); 7.6(m, 4H, ArH);7.8(m, 4H, Ar H); 8.2(d, 2H, Ar H); 9.5(bs, 1H, OH);10(bs, 1H,Ar OH), EI-MS : 313$(M+1)^+$.

2.3.10:- 4-(4,5-diphenyl-1H-imidazol-2-yl)phenol (1j)

Yield: 87%, m.p: 234^{0}c, IR(KBr) cm^{-1} : ^{1}3010(NH str); 3283(C-OH) ;2980(C-H str); 1701(c=c),1607(C=N str), ^{1}H NMR[$CDCl_3$] : δ 6.9(d, 2H, Ar H); 7.3(d, 2H, Ar H); 7.4(m, 4H, Ar H); 7.8(m, 4H, Ar H); 8 (d, 2H, Ar H); 9(bs, 1H, C-OH); 10(bs, 1H, NH), EI-MS : 313$(M+1)^+$.

2.4 Pharmacological activities

2.4.1 Antibacterial activity

1. The antibacterial activity was carried using agar plate technique. Ofloxacin was taken as the reference drug. Nutrient agar medium was sterilized in an autoclave at 121^0C (15lbs/sq.in) for 20 minutes. It was cooled to 45^0c with gentle shaking and then inoculated with 18 to 24 hours old cultures under aseptic conditions, mixed well by gentle shaking. All the Petri dishes were transferred to laminar air flow unit. The agar medium was poured into sterile Petri dishes and allowed the medium to solidify.

2. In the petri dishes four wells of 10mm diameter at equal distances were made. The test and standard solutions i.e 5, 10, 15,20µg/ml were added. These Petri dishes were kept as it is for 1hr for diffusion at room temperature and then incubated at 37^0c for 24hr in an incubator. The extent diameter of inhibition after 24 hours was measured as the zone of inhibition in mm.

2.4.2 Antifungal activity

1. The fungal activity was carried using agar plate technique. Fluconazole was taken as the reference drug. Saubaurd agar medium was sterilized in an autoclave at 121^0C (15lbs/sq.in) for 20 minutes. It was cooled to 45^0c with gentle shaking and then inoculated with 48 hours old cultures under aseptic conditions, mixed well by gentle shaking. All the Petri dishes were transferred to laminar air flow unit. The agar medium was poured into sterile Petri dishes and allowed the medium to solidify.

2. In the petri dishes four wells of 10mm diameter at equal distances were made. The test and standard solutions i.e 50,100,150,200µg/ml were added. These Petri dishes were kept as it is for 1hr for diffusion at room temperature and then incubated at 37^0c for 48hr in an incubator. The extent diameter of inhibition after 48 hours was measured as the zone of inhibition in mm.

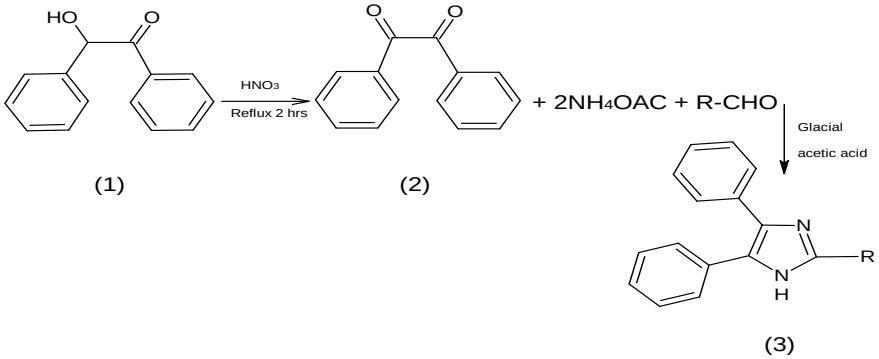
2.4.3 Antioxidant activity

1. Antioxidant activity was carried out using DPPH method. Concentrations of test and the standard were taken in the range of 100,200,300,400,500µg/ml For the evaluation of antioxidant activity, we have used a stable-free radical α,α-diphenyl-β-picrylhydrazyl (DPPH), at the concentration of 0.2 mM in methanol. To 0.1 ml of the test compound (at

different concentrations), 1.5 ml of methanol and 0.5 ml of DPPH solution were added, mixed thoroughly and absorbance (OD) was read at 517 nm against the blank.

2. The % reduction of the free radical concentration (OD) with different concentration of test compounds was calculated and was compared with standard *Ascorbic acid*. The results were expressed as IC_{50} values (the concentration of the test required to scavenge 50% free radicals).

SCHEME 1



(1) (2) (3)

R	R
1 a - Thiophene-2-carboxaldehyde	1f - Imidazole-3-carboxaldehyde
1b - Piperanol	1g - N-N-dimethyl aniline carboxaldehyde
1c - N-methyl-2-pyrrole carboxaldehyde	1h - 3,4,5-trimethoxy benzaldehyde
1d - furfuraldehyde	1i - salicylaldehyde
1e - Indole-3-carboxaldehyde	1j - 4-hydroxy benzaldehyde

3. RESULTS AND DISCUSSION

3.1 Chemistry

The general synthetic strategy employed to obtain the target compounds is depicted in Scheme**1**. It describes the synthesis of substituted imidazoles (1a-j) through claisen ester condensatio . The IR spectra for all compounds **1a-j** displayed stretching absorption bands at the expected regions N-H and C=N groups. The ^{1}H-NMR spectra for compounds 1a-j showed the characteristic broad singlet N-H peak representing 1 proton. Most of the compounds showed better antibacterial , antifungal and antioxidant activity.

3.2 Biological screening

All the synthesized compounds were evaluated for antimicrobial by agar cup plate method and antioxidant activity by DPPH method taking ofloxacin, fluconazole and ascorbic acid as

the standard drugs. The title compounds showed moderate to good antibacterial activity (table-4), compounds 1b and 1g showed more promising activity equipotent activity when compared to the standard drug against *Escherichia coli*. The series of compounds did not exhibit any activity against *Bacillus subtilis.*

The title compounds were screened for antifungal activity (table-5) against *Pencillium chrysogenum.* Most of the title compounds showed no activity. Compound 1g showed equipotent activity compared to the standard drug fluconazole. All the title compounds were screened for antioxidant activity (table-6). Compound 1b, 1d, 1g, 1j Ic_{50} value of 4.6µg/ml, 3.3 µg/ml, 3.12 µg/ml, 3.72 µg/ml showed equipotent activity when compared with the standard ascorbic acid (3.41 µg/ml).

Table - 4: Antibacterial activity zone of inhibition(mm) of Imidazole derivatives(1a-1j)

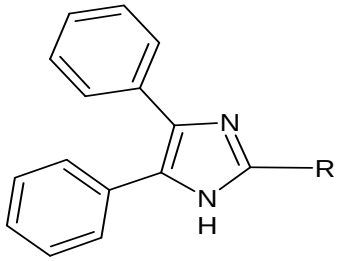
Comp. No.		Zone of inhibition (mm)							
		E.coli				*B.subtilis*			
		5	10	15	20	5	10	15	20
		(µg/ml)		(µg/ml)		(µg/ml)		(µg/ml)	
1a	Thiophene-2-carboxaldehyde	-	-	8	11	-	-	-	-
1b	Piperanol	10	12	13	14	-	-	-	-
1c	N-methyl-2-pyrrole carboxaldehyde	-	-	6	8	-	-	-	-
1d	Furfuraldehyde	-	7	8	9	-	-	-	-
1e	Indole-3-carboxaldehyde	-	7	8	11	-	-	-	-
1f	Imidazole-3-carboxaldehyde	-	6	8	10	-	-	-	-
1g	N-N-dimethyl aniline carboxaldehyde	9	11	12	14		-	-	-
1h	3,4,5trimethoxy benzaldehyde	-	-	10	12	-	-	-	-
1i	Salicylaldehyde	-	-	-	-	-	-	-	-
1j	4-hydroxy benzaldehyde	-	-	-	-	-	-	-	-
		25(µg/ml)	50(µg/ml)	75(µg/ml)	100(µg/ml)				
standard	Oflaxacin	12	13	15	16			-	-

Table – 5: Antifungal Zone of inhibition (mm) of Imidazole derivatives(1a-1j)

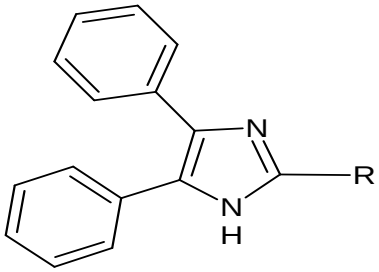
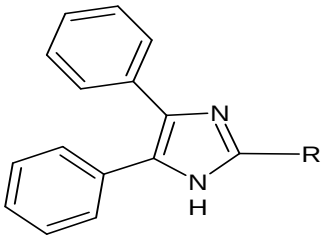
Comp. No.		*Pencillium Chrysogenum*			
		Zone of inhibition			
		50 (µg/ml)	**100 (µg/ml)**	**150 (µg/ml)**	**200 (µg/ml)**
1a	Thiophene-2-carboxaldehyde	-	-	-	-
1b	Piperanol	1	3	4	6
1c	N-methyl-2-pyrrole carboxaldehyde	2	3	5	6
1d	Furfiraldehyde	-	-	3	6
1e	Indole-3-carboxaldehyde	-	-	-	-
1f	Imidazole-3-carboxaldehyde	-	-	-	-
1g	N,N-dimethyl aniline carboxaldehyde	-	6	8	9
1h	3,4,5 trimethoxy carboxaldehyde	-	-	-	-
1i	Salicylaldehyde	-	-	-	-
1j	4-Hydroxy benzaldehyde	-	-	-	-
	Standard	**50(µg/ml)**	**100(µg/ml)**	**125(µg/ml)**	**150(µg/ml)**
	Flucanazole	4	6	7	9

Table – 6: Antioxidant activity of Imidazole derivatives (1a-1j)

S.No		IC_{50} Value
1a	Thiophene-2-carboxaldehyde	3.04
1b	Piperanol	4.65
1c	N-Methyl-2-pyrrole carboxaldehyde	-2.98
1d	Furfuraldehyde	3.3
1e	Indole-3-carboxaldehyde	-2.78
1f	Imidazole-4-carboxaldehyde	-2.24
1g	N,N-dimethyl aniline carboxaldehyde	3.12
1h	3,4,5 trimethoxy banzaldehyde	2.2
1i	salicylaldehyde	3.06
1j	4-Hydroxy banzaldehyde	3.72
standard	Ascorbic acid	3.41

4. CONCLUSION

Imidazole derivatives were synthesised using different aromatic and heterocyclic aldehydes and evaluated by antibacterial, antifungal and antioxidant ativities. Of the derivatives synthesised Piperanol and N,N-dimethyl aniline showed potent antibacterial activity when compared with ofloxacin(standard) and N,N-dimethyl aniline showed potent antifungal activity when compared with fluconazole (standard), piperanol , Furfural, N,N-dimethyl aniline , 4-Hydroxy benzaldehyde have shown good antioxidant activity when compared with the standard ascorbic acid.

The Molecular properties predicted showed that the derivatives were non-toxic and showed good drug-likeness which would be useful in the development of active drugs.

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